I claim:

1. A method for generating a library of bi-ligands, comprising

(a) determining a common ligand to a conserved site in a receptor family;

(b) attaching an expansion linker to said common ligand, wherein said expansion linker has sufficient length and orientation to direct a second ligand to a specificity site of a receptor in said receptor family, to form a module; and

(c) generating a population of 10 or more bi-ligands comprising a plurality of identical modules attached to variable second ligands.

2. The method of claim 1, further comprising:

(d) screening said population of bi-ligands for binding to a receptor in said receptor family; and

(e) identifying a bi-ligand that binds to and has specificity for said receptor.

3. The method of claim 1, wherein said population comprises 15 or more bi-ligands.

4. The method of claim 3, wherein said population comprises 20 or more bi-ligands.

5. The method of claim 3, wherein said population comprises 30 or more bi-ligands.

6. The method of claim 3, wherein said population comprises 50 or more bi-ligands.

7. The method of claim 3, wherein said population comprises 100 or more bi-ligands.

8. The method of claim 1, wherein said receptor is an enzyme selected from the group consisting of kinases, dehydrogenases, oxidoreductases, GTPases, carboxyl transferases, acyl transferases, decarboxylases, transaminases, racemases, methyl transferases, formyl transferases, and α-ketodecarboxylases.

9. A method for generating a library of bi-ligands, comprising

(a) determining a common ligand to a conserved site in a receptor family;

(b) attaching an expansion linker to said common ligand, wherein said expansion linker has sufficient length and orientation to direct a second ligand to a specificity site of a receptor in said receptor family, to form a module; and

(c) generating a population of bi-ligands comprising a plurality of identical modules attached to variable second ligands,

with the proviso said receptor is not a dehydrogenase or decarboxylase.

10. The method of claim 9, further comprising:

(d) screening said population of bi-ligands for binding to a receptor in said receptor family; and

(e) identifying a bi-ligand that binds to and has specificity for said receptor.

11. The method of claim 9, wherein said population comprises 3 or more bi-ligands.

12. The method of claim 9, wherein said population comprises 5 or more bi-ligands.

13. The method of claim 9, wherein said population comprises 10 or more bi-ligands.

14. The method of claim 9, wherein said population comprises 20 or more bi-ligands.

15. A method for generating a library of bi-ligands, comprising

(a) determining a common ligand to a combined specificity site-conserved site in a receptor family;

(b) attaching an expansion linker to said common ligand, wherein said expansion linker has sufficient length and orientation to direct a second ligand to the specificity site of said combined specificity site-conserved site of a receptor in said receptor family, to form a module; and

(c) generating a population of bi-ligands comprising a plurality of identical modules attached to variable second ligands

wherein said bi-ligand exhibits at least 200-fold higher affinity for one member of said receptor family over a second member of said receptor family.

16. The method of claim 15, further comprising:

(d) screening said population of bi-ligands for binding to a receptor in said receptor family; and

(e) identifying a bi-ligand that binds to and has specificity for said receptor.

17. The method of claim 15, wherein said bi-ligand exhibits 300-fold higher affinity for one member of said receptor family over a second member of said receptor family

18. The method of claim 15, wherein said bi-ligand exhibits 500-fold higher affinity for one member of said receptor family over a second member of said receptor family

19. The method of claim 15, wherein said bi-ligand exhibits 1000-fold higher affinity for one member of said receptor family over a second member of said receptor family

20. The method of claim 15, wherein said combined specificity site-conserved site is selected from the group consisting of SH2 domain and SH3 domain.

21. A method for identifying a population of bi-ligands to receptors in a receptor family, comprising

(a) determining a common ligand to a conserved site in the receptor family;

(b) attaching an expansion linker to said common ligand, wherein said expansion linker has sufficient length and orientation to direct a second ligand to a specificity site of a receptor in said receptor family, to form a module; and

(c) generating a population of bi-ligands, wherein said bi-ligand comprises said module and a second ligand linked by said expansion linker.

22. The method of claim 21, further comprising:

(d) screening said population of bi-ligands for binding to a receptor in said receptor family;

(e) identifying a bi-ligand that binds to and has specificity for said receptor; and

(f) repeating steps (d) and (e) to identify a bi-ligand that binds to and has specificity for a second receptor in said receptor family.

23. The method of claim 21, wherein said receptor is an enzyme selected from the group consisting of kinases, dehydrogenases, oxidoreductases, GTPases, carboxyl transferases, acyl transferases, decarboxylases, transaminases, racemases, methyl transferases, formyl transferases, and α-ketodecarboxylases.

24. A method for identifying a bi-target ligand to a receptor, comprising

(a) identifying a first bi-ligand to a first receptor in a receptor family, wherein said bi-ligand comprises a common ligand to a conserved site in a receptor family and a first specificity ligand to said first receptor;

(b) identifying a second bi-ligand to a second receptor in said receptor family, wherein said bi-ligand comprises said common ligand and a second specificity ligand to said second receptor; and

(c) generating a bi-target ligand comprising said common ligand, said first specificity ligand and said second specificity ligand, whereby said bi-target ligand can bind to said first receptor and said second receptor.

25. The method of claim 21, wherein said receptor is an enzyme selected from the group consisting of kinases, dehydrogenases, oxidoreductases, GTPases, carboxyl transferases, acyl transferases, decarboxylases, transaminases, racemases, methyl transferases, formyl transferases, and α-ketodecarboxylases.

26. The method of any of claims 1, 9, or 21, wherein said receptor family binds a cofactor selected from the group consisting of nicotinamide adenine dinucleotide, nicotinamide adenine dinucleotide phosphate, thiamine pyrophosphate, flavin adenine dinucleotide, flavin mononucleotide, pyridoxal phosphate, coenzyme A, tetrahydrofolate, adenosine triphosphate, guanosine triphosphate and S-adenosyl methionine.

27. The method of any of claims 1, 9, 15, 21 or 24, wherein said expansion linker has approximate C2 symmetry.

28. The method of claim 27, wherein said expansion linker has perfect C2 symmetry.

29. The method of any of claims 1, 9, 15, 21 or 24, wherein said bi-ligand is identified using nuclear magnetic resonance.

30. A library of 10 or more bi-ligands comprising a common ligand to a conserved site in a receptor family and an expansion linker attached to said common ligand, wherein said expansion linker has sufficient length and orientation to direct a second ligand to a specificity site of a receptor in said receptor family to form a module; and a specificity ligand attached to said expansion linker.

31. The library of claim 30, wherein said population comprises 15 or more bi-ligands.

32. The library of claim 30, wherein said population comprises 20 or more bi-ligands.

33. The library of claim 30, wherein said population comprises 30 or more bi-ligands.

34. The library of claim 30, wherein said population comprises 50 or more bi-ligands.

35. The library of claim 30, wherein said population comprises 100 or more bi-ligands.

36. The library of claim 30, wherein said receptor is an enzyme selected from the group consisting of kinases, dehydrogenases, oxidoreductases, GTPases, carboxyl transferases, acyl transferases, decarboxylases, transaminases, racemases, methyl transferases, formyl transferases, and α-ketodecarboxylases.

37. A library of bi-ligands comprising a common ligand to a conserved site in a receptor family and an expansion linker attached to said common ligand, wherein said expansion linker has sufficient length and orientation to direct a second ligand to a specificity site of a receptor in said receptor family to form a module; and a specificity ligand attached to said expansion linker, with the proviso said receptor is not a dehydrogenase or decarboxylase.

38. The library of claim 37, wherein said population comprises 3 or more bi-ligands.

39. The library of claim 37, wherein said population comprises 5 or more bi-ligands.

40. The library of claim 37, wherein said population comprises 10 or more bi-ligands.

41. The library of claim 37, wherein said population comprises 20 or more bi-ligands.

42. The library of claim 37, wherein said receptor is an enzyme selected from the group consisting of kinases, oxidoreductases, GTPases, carboxyl transferases, acyl transferases, transaminases, racemases, methyl transferases, formyl transferases, and α-ketodecarboxylases.

43. A library of bi-ligands comprising a common ligand to a combined specificity site-conserved site in a receptor family and an expansion linker attached to said common ligand, wherein said expansion linker has sufficient length and orientation to direct a second ligand to the specificity site of said combined specificity site-conserved site of a receptor in said receptor family to form a module; and a specificity ligand attached to said expansion linker, wherein said bi-ligand exhibits at least 200-fold higher affinity of one member of said receptor family over a second member of said receptor family.

44. The library of claim 43, wherein said bi-ligand exhibits 300-fold higher affinity for one member of said receptor family over a second member of said receptor family

45. The library of claim 43, wherein said bi-ligand exhibits 500-fold higher affinity for one member of said receptor family over a second member of said receptor family

46. The library of claim 43, wherein said bi-ligand exhibits 1000-fold higher affinity for one member of said receptor family over a second member of said receptor family

47. The library of claim 43, wherein said combined specificity site-conserved site is selected from the group consisting of SH2 domain and SH3 domain.

48. The library of any of claims 30 or 37, wherein said receptor family binds a cofactor selected from the group consisting of nicotinamide adenine

dinucleotide, nicotinamide adenine dinucleotide phosphate, thiamine pyrophosphate, flavin adenine dinucleotide, flavin mononucleotide, pyridoxal phosphate, coenzyme A, tetrahydrofolate adenosine triphosphate, guanosine triphosphate and S-adenosyl methionine.

49. The library of any of claims 30, 37, or 43, wherein said expansion linker has approximate C2 symmetry.

50. The library of claim 49, wherein said expansion linker has perfect C2 symmetry.

51. The library of any of claims 30, 37, or 43, wherein said bi-ligand is identified using nuclear magnetic resonance.

52. A population of two or more bi-ligands, comprising:

(a) at least one bi-ligand to a first receptor comprising a common ligand to a conserved site in a receptor family and a specificity ligand to a specificity site of said first receptor in said receptor family; and

(b) at least one bi-ligand to a second receptor comprising said common ligand and a specificity ligand to a specificity site of said second receptor in said receptor family,

wherein said common ligand and said specificity ligand are linked by an expansion linker of sufficient length and orientation to direct said specificity ligand to a specificity site of said receptor.

53. The population of claim 52, wherein said population comprises 3 or more bi-ligands.

54. The population of claim 52, wherein said population comprises 5 or more bi-ligands.

55. The population of claim 52, wherein said population comprises 10 or more bi-ligands.

56. The population of claim 52, wherein said population comprises twenty or more bi-ligands.

57. The population of claim 52, wherein said population comprises fifty or more bi-ligands.

58. The population of claim 52, wherein said receptor is an enzyme selected from the group consisting of kinases, dehydrogenases, oxidoreductases, GTPases, carboxyl transferases, acyl transferases, decarboxylases, transaminases, racemases, methyl transferases, formyl transferases, and α-ketodecarboxylases.

59. The population of claim 52, wherein said receptor family binds a cofactor selected from the group consisting of nicotinamide adenine dinucleotide, nicotinamide adenine dinucleotide phosphate, thiamine pyrophosphate, flavin adenine dinucleotide, flavin mononucleotide, pyridoxal phosphate, coenzyme A, tetrahydrofolate adenosine triphosphate, guanosine triphosphate and S-adenosyl methionine.

60. The population of claim 52, wherein said expansion linker has approximate C2 symmetry.

61. The population of claim 60, wherein said expansion linker has perfect C2 symmetry.

62. A bi-target ligand, comprising:

(a) a common ligand to a conserved site in a receptor family;

(b) a first specificity ligand to a specificity site of a first receptor in said receptor family; and

(c) a second specificity ligand to a specificity site of a second receptor in said receptor family,

wherein said common ligand and said specificity ligands are linked by an expansion linker of sufficient length and in an orientation directing said first specificity ligand to said specificity site of said first receptor and said second specificity ligand to said specificity site of said second receptor.

63. The bi-target ligand of claim 62, wherein said receptor is an enzyme selected from the group consisting of kinases, dehydrogenases, oxidoreductases, GTPases, carboxyl transferases, acyl transferases, decarboxylases, transaminases, racemases, methyl transferases, formyl transferases, and α-ketodecarboxylases.

64. The bi-target ligand of claim 62, wherein said receptor family binds a cofactor selected from the group consisting of nicotinamide adenine dinucleotide, nicotinamide adenine dinucleotide phosphate, thiamine pyrophosphate, flavin adenine dinucleotide, flavin

mononucleotide, pyridoxal phosphate, coenzyme A, tetrahydrofolate adenosine triphosphate, guanosine triphosphate and S-adenosyl methionine.

65. The bi-target ligand of claim 62, wherein said expansion linker has approximate C2 symmetry.

66. The bi-target ligand of claim 65, wherein said expansion liner has perfect C2 symmetry.